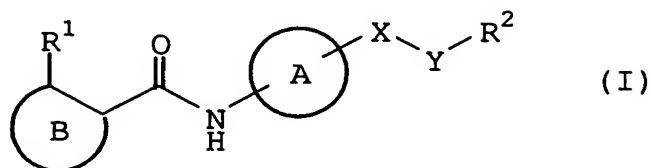


CLAIMS

1. A compound of the formula (I)



wherein

R^1 is hydrogen, lower alkyl, lower alkenyl, halo(lower)alkyl, cyclo(lower)alkyl, lower alkoxy, lower alkylthio, acyl, optionally substituted aryl or NR^3R^4 , wherein

R^3 and R^4 are each independently hydrogen, lower alkyl, cyclo(lower)alkyl or acyl; or

R^3 , R^4 and nitrogen atom to which they are attached form an optionally substituted, saturated or partially saturated N-containing heterocyclic group optionally having one or more oxygen or sulfur atom(s) and optionally having one or two lower alkyl(s);

R^2 is hydrogen; or aryl or heteroaryl in which imino group is optionally protected by amino protective group, each of which is optionally substituted by cyano, optionally protected amino, lower alkyl or heteroaryl substituted by one or more lower alkyl(s);

X is direct bond or bivalent residue derived from piperazine;

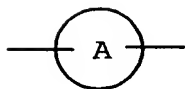
Y is $-(A^1)_n-(A^2)_m-$

wherein

A^1 is $-O-$, $-NH-$, $-N(R^5)-$, $-CO-$, $-CH(OH)-$, $-NH-CO-$, $-CO-NH-$, $-CH_2-NH-CO-$, $-CH_2-CO-NH-$ or $-(CH_2)_2-NH-CO-$, wherein R^5 is amino protective group,

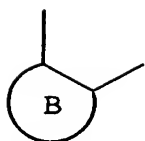
A^2 is lower alkylene optionally substituted with lower alkyl or heteroaryl, and

n and m are independently 0 or 1;

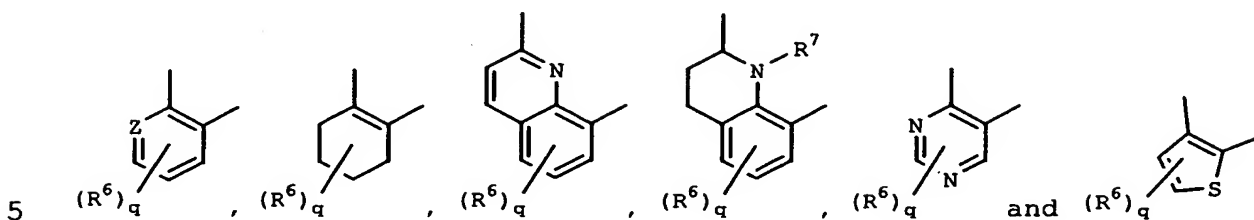


is bivalent residue derived from arene or

heteroarene; and



is bivalent residue derived from arene or heteroarene
selected from



wherein

Z is N or C(R¹⁰),

10 R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy,
halo(lower)alkyl, lower alkanoyl, lower alkylthio or -
NR⁸R⁹, wherein R⁸ and R⁹ are each independently lower
alkyl, or R⁸, R⁹ and nitrogen atom to which they are
attached form an optionally substituted, saturated or
15 partially saturated N-containing heterocyclic group
optionally having one or two lower alkyl(s);

R⁷ is lower alkyl;

R¹⁰ is the same as R⁶ defined above; and

q is 1 or 2,

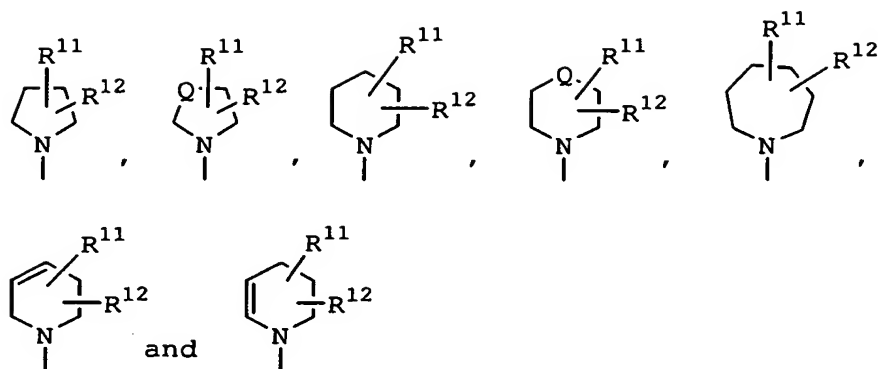
or a salt thereof.

20

2. The compound of claim 1, wherein

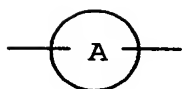
R¹ is hydrogen, lower alkyl, lower alkenyl, halo(lower)alkyl,
cyclo(lower)alkyl, lower alkoxy, lower alkylthio, lower
alkylsulfonyl or NR³R⁴,

25 wherein R³ and R⁴ are each independently hydrogen, lower
alkyl, cyclo(lower)alkyl, lower alkanoyl; or
R³, R⁴ and nitrogen atom to which they are attached form
an optionally substituted, saturated or partially
saturated N-containing heterocyclic group selected from

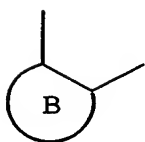


wherein R^{11} and R^{12} are each independently hydrogen or lower alkyl, and Q is $-N(R^{13})-$, $-O-$, $-S-$, $-SO-$ or $-SO_2-$, wherein R^{13} is hydrogen or lower alkyl;

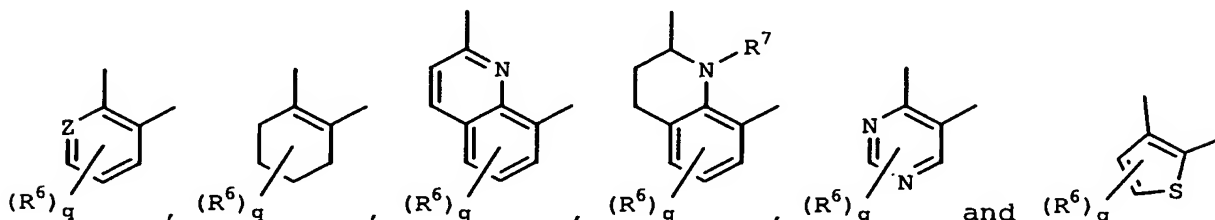
- 5 R^2 is hydrogen, phenyl, pyridinyl, pyrimidinyl, pyrazolyl, thiazolyl, pyrrolyl, triazolyl in which imino group is optionally protected by amino protective group, tetrazolyl, furanyl or thienyl, each of which is optionally substituted by cyano, optionally protected amino, lower alkyl or pyrrolyl substituted by one or
10 more lower alkyl(s);



is phenylene, pyridinediyl, indolinediyl, isoindolynediyl, 3-oxo-2,3-dihydro-1H-indolediyl or 3,4-dihydro-2(1H)-isoquinolinediyl; and



- 15 is bivalent residue derived from arene or heteroarene selected from

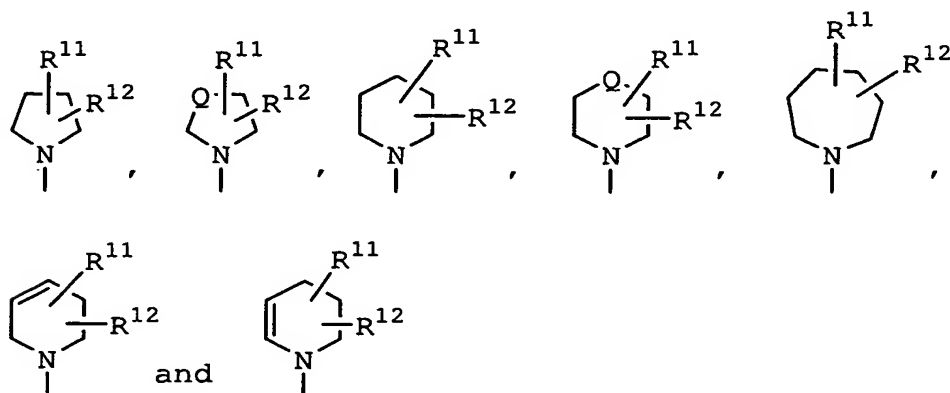


wherein

- 20 Z is N or C(R^{10}),

R^6 is hydrogen, halogen, lower alkyl, lower alkoxy, halo(lower)alkyl, lower alkanoyl, lower alkylthio or $-NR^8R^9$, wherein R^8 and R^9 are each independently lower alkyl, or

5 R^8 , R^9 and nitrogen atom to which they are attached form an optionally substituted, saturated or partially saturated N-containing heterocyclic group selected from

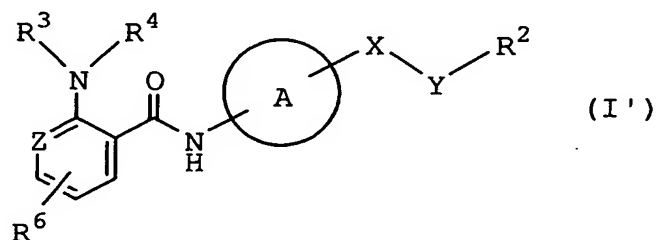


10

wherein R^{11} , R^{12} and Q are as defined above;
 R^7 is as defined above; and
q is 1 or 2,
or a salt thereof.

15

3. A compound of the formula (I')



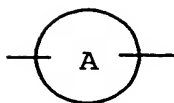
wherein

20 R^2 is aryl or heteroaryl, each of which is optionally substituted by cyano, optionally protected amino, lower alkyl or heteroaryl substituted by one or more lower alkyl(s);

R^3 and R^4 are each independently lower alkyl, or R^3 , R^4 and

nitrogen atom to which they are attached form an optionally substituted, saturated or partially saturated N-containing heterocyclic group;

R^6 is hydrogen, halogen, lower alkyl, lower alkoxy, halo(lower)alkyl, lower alkanoyl or $-NR^8R^9$ (wherein R^8 and R^9 are each independently lower alkyl, or R^8 , R^9 and nitrogen atom to which they are attached form an optionally substituted, saturated or partially saturated N-containing heterocyclic group);



is bivalent residue derived from arene or heteroarene;

X is direct bond or bivalent residue derived from piperazine,

Y is $-(A^1)_n-(A^2)_m-$

wherein A^1 is $-O-$, $-NH-$, $-N(R^5)-$, $-CO-$, $-CH(OH)-$, $-NH-CO-$, $-CH_2-NH-CO-$ or $-CH_2-CO-NH-$, wherein R^5 is amino protective group,

A^2 is lower alkylene, and

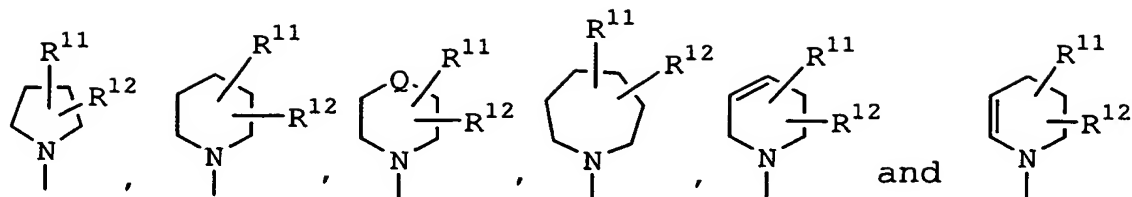
n and m are independently 0 or 1;

Z is N or C(R^{10}) (wherein R^{10} is the same as R^6 defined above), or a salt thereof.

4. The compound of claim 3, wherein

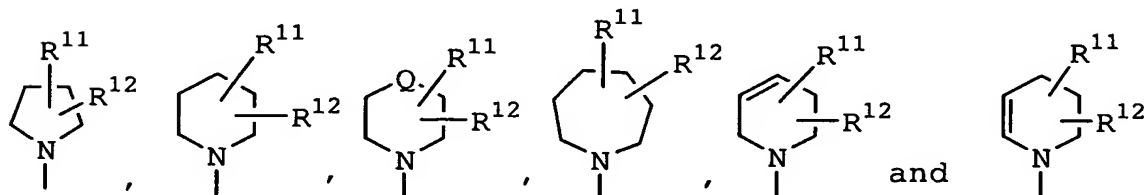
R^2 is phenyl, pyridinyl, pyrimidinyl, pyrazolyl, thiazolyl, pyrrolyl, triazolyl or tetrazolyl, each of which is optionally substituted by cyano, optionally protected amino, lower alkyl or pyrrolyl substituted by one or more lower alkyl(s),

R^3 and R^4 are each independently lower alkyl, or R^3 , R^4 and nitrogen atom to which they are attached form a saturated or partially saturated N-containing heterocyclic group selected from

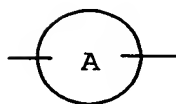


wherein R^{11} and R^{12} are each independently hydrogen or lower alkyl, and Q is $-N(R^{13})-$, $-O-$, $-S-$, $-SO-$ or $-SO_2-$ wherein R^{13} is hydrogen or lower alkyl;

- 5 R^6 is hydrogen, halogen, lower alkyl, lower alkoxy, halo(lower)alkyl, lower alkanoyl or $-NR^8R^9$ (wherein R^8 and R^9 are each independently lower alkyl, or R^{11} , R^{12} and nitrogen atom to which they are attached form a saturated or partially saturated N-containing
10 heterocyclic group selected from



wherein R^{11} , R^{12} and Q are as defined above); and

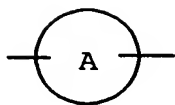


15

is phenylene, pyridinediyl, indolinediyl or isoindolinediyl,
or a salt thereof.

- 20 5. The compound of claim 3, wherein
 R^2 is phenyl, pyridinyl, pyrimidinyl, pyrazolyl, thiazolyl, pyrrolyl, triazolyl or tetrazolyl, each of which is optionally substituted by cyano, optionally protected amino, lower alkyl or pyrrolyl substituted by one or
25 more lower alkyl(s);
 R^3 and R^4 are each independently lower alkyl;

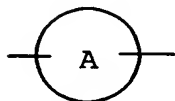
R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy, lower alkanoyl or halo(lower)alkyl; and



is phenylene,
5 or a salt thereof.

6. The compound of claim 3, wherein
R² is phenyl, pyridinyl, pyrimidinyl, pyrazolyl, thiazolyl,
pyrrolyl, triazolyl or tetrazolyl, each of which is
10 optionally substituted by cyano, optionally protected
amino, lower alkyl or pyrrolyl substituted by one or
more lower alkyl(s);

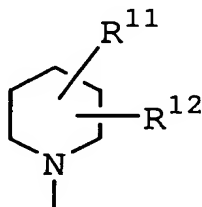
R³ and R⁴ are each independently lower alkyl;
R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy, lower
15 alkanoyl or halo(lower)alkyl; and



is indolinediyl or isoindolinediyl,
or a salt thereof.

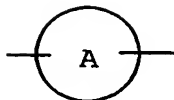
20 7. The compound of claim 3, wherein
R² is phenyl, pyridinyl, pyrimidinyl, pyrazolyl, thiazolyl,
pyrrolyl, triazolyl or tetrazolyl, each of which is
optionally substituted by cyano, optionally protected
amino, lower alkyl or pyrrolyl substituted by one or
25 more lower alkyl(s);

R³, R⁴ and nitrogen atom to which they are attached form a
saturated N-containing heterocyclic group of the formula



wherein R^{11} and R^{12} are each independently hydrogen or lower alkyl;

R^6 is hydrogen, halogen, lower alkyl, lower alkoxy, lower alkanoyl or halo(lower)alkyl; and

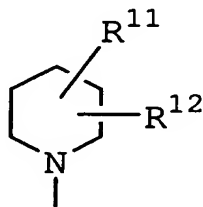


is phenylene,
or a salt thereof.

8. The compound of claim 3, wherein

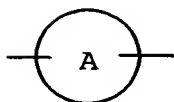
10 R^2 is phenyl, pyridinyl, pyrimidinyl, pyrazolyl, thiazolyl, pyrrolyl, triazolyl or tetrazolyl, each of which is optionally substituted by cyano, optionally protected amino, lower alkyl or pyrrolyl substituted by one or more lower alkyl(s);

15 R^3 , R^4 and nitrogen atom to which they are attached form a saturated N-containing heterocyclic group of the formula



wherein R^{11} and R^{12} are each independently hydrogen or lower alkyl;

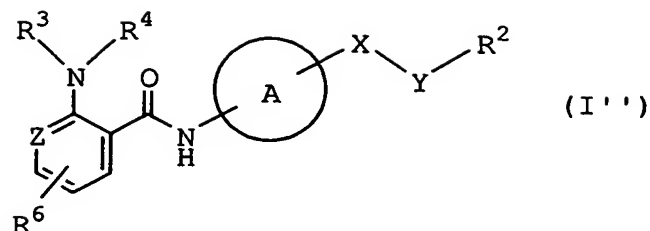
20 R^6 is hydrogen, halogen, lower alkyl, lower alkoxy, lower alkanoyl or halo(lower)alkyl; and



is indolinediyl or isoindolinediyl,
or a salt thereof.

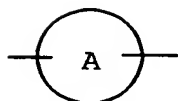
25

9. A compound of the formula (I'')



wherein

- R² is aryl or heteroaryl, each of which is optionally substituted by cyano, amino, lower alkyl or heteroaryl
- 5 substituted by one or more lower alkyl(s);
- R³ and R⁴ are each independently lower alkyl, or R³, R⁴ and nitrogen atom to which they are attached form an optionally substituted, saturated or partially saturated N-containing heterocyclic group;
- 10 R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy, halo(lower)alkyl or -NR⁸R⁹ (wherein R⁸ and R⁹ are each independently lower alkyl, or R⁸, R⁹ and nitrogen atom to which they are attached form an optionally substituted, saturated or partially saturated N-
- 15 containing heterocyclic group);

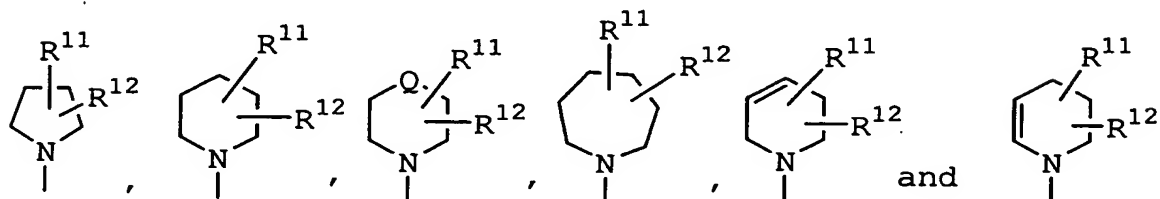


- is bivalent residue derived from arene or heteroarene;
- X is direct bond or bivalent residue derived from piperazine,
- Y is -(A¹)_n-(A²)_m-
- 20 wherein A¹ is -O-, -NH-, -N(R⁵)-, -CO- or -NH-CO-, wherein R⁵ is amino protective group, A² is lower alkylene, and n and m are independently 0 or 1; and
- Z is N or C(R¹⁰) (wherein R¹⁰ is the same as R⁶ defined above),
- 25 or a salt thereof.

10. The compound of claim 9, wherein
- R² is phenyl, pyridinyl, pyrimidinyl or thiazolyl, each of which is optionally substituted with cyano, amino,
- 30 lower alkyl or pyrrolyl substituted with one or more

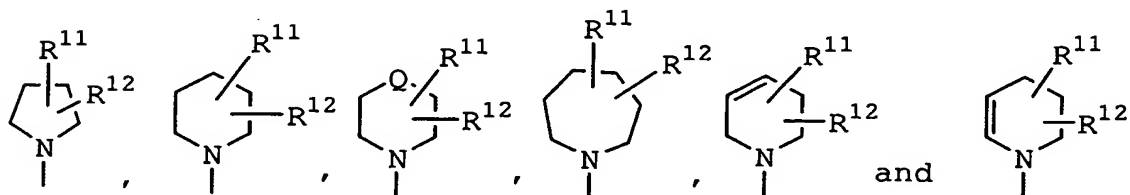
lower alkyl;

R³ and R⁴ are each independently lower alkyl, or R³, R⁴ and nitrogen atom to which they are attached form a saturated or partially saturated N-containing heterocyclic group selected from

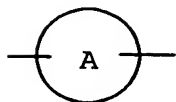


wherein R¹¹ and R¹² are each independently hydrogen or lower alkyl, and Q is -N(R¹³)-, -O-, -S-, -SO- or -SO₂- wherein R¹³ is hydrogen or lower alkyl;

10 R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy, halo(lower)alkyl or -NR⁸R⁹ (wherein R⁸ and R⁹ are each independently lower alkyl, or R⁸, R⁹ and nitrogen atom to which they are attached form a saturated or partially saturated N-containing heterocyclic group selected from



wherein R¹¹, R¹² and Q are as defined above); and



20 is phenylene, pyridinediyl or indolinediyl, or a salt thereof.

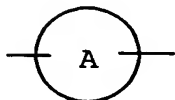
11. The compound of claim 9, wherein

25 R² is phenyl, pyridinyl, pyrimidinyl or thiazolyl, each of which is optionally substituted with cyano, amino, lower alkyl or pyrrolyl substituted with one or more

lower alkyl;

R³ and R⁴ are each independently lower alkyl;

R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy or halo(lower)alkyl; and



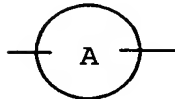
is phenylene,
or a salt thereof.

12. The compound of claim 9, wherein

10 R² is phenyl, pyridinyl, pyrimidinyl or thiazolyl, each of which is optionally substituted with cyano, amino, lower alkyl or pyrrolyl substituted with one or more lower alkyl;

R³ and R⁴ are each independently lower alkyl;

15 R⁶ is hydrogen, halogen, lower alkyl, lower alkoxy or halo(lower)alkyl; and



is indolinediyl,
or a salt thereof.

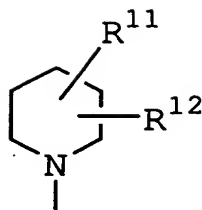
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13. The compound of claim 9, wherein

R² is phenyl, pyridinyl, pyrimidinyl or thiazolyl, each of which is optionally substituted with cyano, amino, lower alkyl or pyrrolyl substituted with one or more lower alkyl;

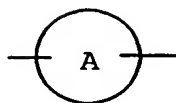
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R³, R⁴ and nitrogen atom to which they are attached form a saturated N-containing heterocyclic group of the formula



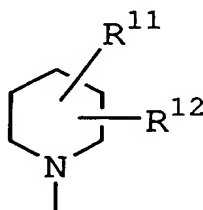
wherein R^{11} and R^{12} are each independently hydrogen or lower alkyl;

R^6 is hydrogen, halogen, lower alkyl, lower alkoxy or halo(lower)alkyl; and



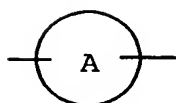
is phenylene,
or a salt thereof.

14. The compound of claim 9, wherein
 R^2 is phenyl, pyridinyl, pyrimidinyl or thiazolyl, each of which is optionally substituted with cyano, amino, lower alkyl or pyrrolyl substituted with one or more lower alkyl;
 R^3 , R^4 and nitrogen atom to which they are attached form a saturated N-containing heterocyclic group of the formula



wherein R^{11} and R^{12} are each independently hydrogen or lower alkyl;

R^6 is hydrogen, halogen, lower alkyl, lower alkoxy or halo(lower)alkyl; and



is indolinediyl,

or a salt thereof.

15. The compound of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.

5

16. A pharmaceutical composition comprising a compound of claim 1 or a pharmaceutically acceptable salt thereof in admixture with a pharmaceutically acceptable carrier.

10 17. A method for inhibiting or decreasing Apo B secretion in a mammal, which comprises administering an Apo B secretion inhibiting or decreasing amount of a compound of claim 1 or a pharmaceutically acceptable salt thereof to the mammal.

15 18. A method for preventing or treating a disease or condition resulting from elevated circulating levels of Apo B in a mammal, which comprises administering an effective amount of a compound of claim 1 or a pharmaceutically acceptable salt thereof to the mammal.

20

19. The method of claim 18, wherein the disease or condition resulting from the elevated circulating levels of Apo B is selected from the group consisting of hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, 25 pancreatitis, non-insulin dependent diabetes mellitus (NIDDM), obesity, coronary heart diseases, myocardial infarction, stroke, restenosis and Syndrome X.

30 20. The compound of claim 3 or a pharmaceutically acceptable salt thereof for use as a medicament.

21. A pharmaceutical composition comprising a compound of claim 3 or a pharmaceutically acceptable salt thereof in 35 admixture with a pharmaceutically acceptable carrier.

22. A method for inhibiting or decreasing Apo B secretion in a mammal, which comprises administering an Apo B secretion inhibiting or decreasing amount of a compound of claim 3 or a pharmaceutically acceptable salt thereof to the mammal.

5

23. A method for preventing or treating a disease or condition resulting from elevated circulating levels of Apo B in a mammal, which comprises administering an effective amount of a compound of claim 3 or a pharmaceutically acceptable salt thereof to the mammal.

10

24. The method of claim 23, wherein the disease or condition resulting from the elevated circulating levels of Apo B is selected from the group consisting of hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, pancreatitis, non-insulin dependent diabetes mellitus (NIDDM), obesity, coronary heart diseases, myocardial infarction, stroke, restenosis and Syndrome X.

15
20

25. The compound of claim 9 or a pharmaceutically acceptable salt thereof for use as a medicament.

26. A pharmaceutical composition comprising a compound of claim 9 or a pharmaceutically acceptable salt thereof in admixture with a pharmaceutically acceptable carrier.

25

27. A method for inhibiting or decreasing Apo B secretion in a mammal, which comprises administering an Apo B secretion inhibiting or decreasing amount of a compound of claim 9 or a pharmaceutically acceptable salt thereof to the mammal.

30

28. A method for preventing or treating a disease or condition resulting from elevated circulating levels of Apo B in a mammal, which comprises administering an effective amount of a compound of claim 9 or a pharmaceutically acceptable salt

35

thereof to the mammal.

29. The method of claim 28, wherein the disease or condition
resulting from the elevated circulating levels of Apo B is
5 selected from the group consisting of hyperlipemia,
hyperlipidemia, hyperlipoproteinemia, hypoalphalipoproteinemia,
hypercholesterolemia, hypertriglyceridemia, atherosclerosis,
pancreatitis, non-insulin dependent diabetes mellitus (NIDDM),
obesity, coronary heart diseases, myocardial infarction,
10 stroke, restenosis and Syndrome X.